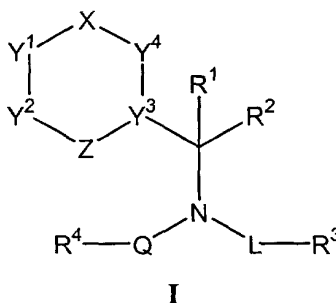


WHAT IS CLAIMED IS:

1. A compound having the formula (I):



wherein

X is a member selected from the group consisting of a bond, -C(O)-, -C(R⁵)(R⁶)-, -C(R⁵)=, -S(O)-, -S(O)₂- and -N=;

Z is a member selected from the group consisting of a bond, -N=, -O-, -S-, -N(R¹⁷)- and -C(R⁷)=, with the proviso that X and Z are not both a bond;

L is a member selected from the group consisting of a bond, C(O)-(C₁-C₈)alkylene, (C₁-C₈)alkylene and (C₂-C₈)heteroalkylene;

Q is a member selected from the group consisting of a bond, (C₁-C₈)alkylene, (C₂-C₈)heteroalkylene, -C(O)-, -OC(O)-, -N(R⁸)C(O)-, -CH₂CO-, -CH₂SO- and -CH₂SO₂-;

optionally L and Q can be linked together to form a 5- or 6-membered heterocyclic group having from 1 to 3 heteroatoms;

R¹ and R² are members independently selected from the group consisting of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, aryl and heteroaryl, or optionally are combined to form a 3 to 8-membered ring having from 0 to 2 heteroatoms as ring vertices;

optionally R² and L can be linked together to form a 5- or 6-membered heterocyclic group having from 1 to 4 heteroatoms;

R³ is a member selected from the group consisting of hydroxy, (C₁-C₈)alkoxy, amino, (C₁-C₈)alkylamino, di(C₁-C₈)alkylamino, (C₂-C₈)heteroalkyl, (C₃-C₉)heterocyclyl, (C₁-C₈)acylamino, amidino, guanidino, ureido, cyano, heteroaryl, -CONR⁹R¹⁰ and -CO₂R¹¹;

R⁴ is a member selected from the group consisting of (C₁-C₂₀)alkyl, (C₂-C₂₀)heteroalkyl, heteroaryl, aryl, heteroaryl(C₁-C₆)alkyl, heteroaryl(C₂-C₆)heteroalkyl, aryl(C₁-C₆)alkyl and aryl(C₂-C₆)heteroalkyl;

R⁵ and R⁶ are each members independently selected from the group

consisting of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, heteroaryl and aryl, or optionally R⁵ and R⁶ are combined to form a 3- to 7-membered ring;

R⁷ and R⁸ are each members independently selected from the group consisting of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, heteroaryl and aryl, each R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, heteroaryl, aryl, heteroaryl(C₁-C₆)alkyl, heteroaryl(C₂-C₈)heteroalkyl, aryl(C₁-C₈)alkyl and aryl(C₂-C₈)heteroalkyl;

Y¹ and Y² are each members independently selected from the group consisting of -C(R¹²)=, -N=, -O-, -S- and -N(R¹³)-;

Y³ is a member selected from the group consisting of N and C wherein the carbon atom shares a double bond with either Z or Y⁴; and

Y⁴ is a member selected from the group consisting of -N(R¹⁴)-, -C(R¹⁴)=, -N= and -N(R¹⁴)-C(R¹⁵)(R¹⁶)-, wherein

each R¹² is a member independently selected from the group consisting of H, halogen, hydroxy, amino, alkylamino, dialkylamino, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, heteroaryl and aryl, or optionally when Y¹ and Y² are both -C(R¹²)= the two R¹² groups can be combined to form a substituted or unsubstituted 5- to 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring; or optionally when Y¹ is -C(R¹²)= and X is -C(R⁵)= or -C(R⁵)(R⁶)-, R¹² and R⁵ can be combined to form a substituted or unsubstituted 5- to 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;

R¹³ is a member selected from the group consisting of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, heteroaryl, aryl, heteroaryl(C₁-C₆)alkyl, heteroaryl(C₂-C₈)heteroalkyl, aryl(C₁-C₈)alkyl and aryl(C₂-C₈)heteroalkyl;

R¹⁴ is a member selected from the group consisting of (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, aryl(C₁-C₈)alkyl, aryl(C₂-C₈)heteroalkyl, heteroaryl(C₁-C₈)alkyl, heteroaryl(C₂-C₈)heteroalkyl, heteroaryl and aryl;

R¹⁵ and R¹⁶ are each members independently selected from the group consisting of H, (C₁-C₈)alkyl and (C₂-C₈)heteroalkyl; and

R¹⁷ is a member selected from the group consisting of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, heteroaryl, aryl, heteroaryl(C₁-C₆)alkyl, heteroaryl(C₂-C₈)heteroalkyl, aryl(C₁-C₈)alkyl and aryl(C₂-C₈)heteroalkyl, or optionally when Y² is -C(R¹²)= or -N(R¹³)-, R¹⁷ can be combined with R¹² or R¹³ to form a substituted or unsubstituted 5- to 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;

with the proviso that when the Y³-containing ring system is a

63 quinazolinone or quinolinone ring system, and R^4 -Q- is substituted or unsubstituted (C_5 -
64 C_{15})alkyl, then R^3 -L- is other than substituted or unsubstituted (C_2 - C_8)alkylene or a
65 substituted or unsubstituted (C_2 - C_8)heteroalkylene attached to $-NR'R''$, wherein R' and
66 R'' are independently selected from the group consisting of hydrogen and (C_1 - C_8)alkyl, or
67 optionally are combined with the nitrogen atom to which each is attached to form a 5-, 6-
68 or 7-membered ring.

1 2. A compound of Claim 1, wherein Y^4 is $-N(R^{14})-$ wherein R^{14} is
2 selected from the group consisting of aryl and heteroaryl.

1 3. A compound of Claim 1, wherein X is $-C(O)-$

1 4. A compound of Claim 1, wherein Z is $-N=$.

1 5. A compound of Claim 1, wherein Y^1 and Y^2 are each $-C(R^{12})=$
2 wherein the two R^{12} groups are combined to form a fused 6-membered aryl or heteroaryl
3 ring.

1 6. A compound of Claim 1, wherein X is $-C(O)-$; Z is $-N=$; Y^3 is C; and
2 Y^1 and Y^2 are each $-C(R^{12})=$.

1 7. A compound of Claim 6, wherein the two R^{12} groups are combined to
2 form a fused 6-membered substituted or unsubstituted aryl or heteroaryl ring.

1 8. A compound of Claim 6, wherein Y^4 is $-N(R^{14})-$.

1 9. A compound of Claim 6, wherein Y^4 is $-C(R^{14})=$.

1 10. A compound of Claim 7, wherein Y^4 is $-N(R^{14})-$.

1 11. A compound of Claim 7, wherein Y^4 is $-C(R^{14})=$.

1 12. A compound of Claim 1, wherein L is (C_1 - C_8)alkylene.

1 13. A compound of Claim 1, wherein Q is $-C(O)-$.

1 14. A compound of Claim 1, wherein R^4 is selected from the group
2 consisting of (C_5 - C_{15})alkyl, substituted or unsubstituted phenyl and biphenyl.

1 **15.** A compound of Claim 1, wherein R³ is selected from the group
2 consisting of (C₁-C₈)alkoxy, (C₁-C₈)alkylamino, di(C₁-C₈)alkylamino, (C₂-
3 C₈)heteroalkyl, (C₃-C₉)heterocyclyl, (C₁-C₈)acylamino, cyano, heteroaryl, -CONR⁹R¹⁰
4 and -CO₂R¹¹.

1 **16.** A compound of Claim 1, wherein R¹ and R² are independently selected
2 from the group consisting of H and (C₁-C₄)alkyl.

1 **17.** A compound of Claim 1, wherein Y³ is C and the carbon atom shares a
2 double bond with Z.

1 **18.** A compound of Claim 1, wherein X is -C(R⁵)(R⁶)-; Y⁴ is -N(R¹⁴)-,
2 wherein R¹⁴ is substituted or unsubstituted aryl or heteroaryl; Y³ is C; Z is -N=; and Y¹
3 and Y² are each -C(R¹²)=.

1 **19.** A compound of Claim 18, wherein X is -CH₂- and the R¹² groups are
2 combined to form a substituted or unsubstituted aryl or heteroaryl ring.

1 **20.** A compound of Claim 1, wherein X is -C(R⁵)=; Y⁴ is -C(R¹⁴)=,
2 wherein R¹⁴ is substituted or unsubstituted aryl or heteroaryl; Y³ is C; Z is -N=; and Y¹
3 and Y² are each -C(R¹²)=.

1 **21.** A compound of Claim 20, wherein R¹ is H.

1 **22.** A compound of Claim 1, wherein X is a bond; Y⁴ is -N(R¹⁴)-, wherein
2 R¹⁴ is substituted or unsubstituted aryl or heteroaryl; Y³ is C; Z is -N=; and Y¹ and Y² are
3 each -C(R¹²)=.

1 **23.** A compound of Claim 22, wherein the R¹² groups are combined to
2 form a substituted or unsubstituted aryl or heteroaryl ring.

1 **24.** A compound of Claim 22, wherein R¹ is H.

1 **25.** A compound of Claim 1, wherein X is -C(R⁵)=; Y⁴ is -C(R¹⁴)=,
2 wherein R¹⁴ is substituted or unsubstituted aryl or heteroaryl; Y³ is C; Z is -C(R⁷)=; and
3 Y¹ and Y² are each -C(R¹²)=.

1 26. A compound of Claim 25, wherein R⁵ and R¹² are combined to form a
2 5- or 6-membered substituted or unsubstituted aryl or heteroaryl ring.

1 27. A compound of Claim 25, wherein R¹ is H.

1 28. A compound of Claim 1, wherein X is a bond; Z is -N= or -N(R¹⁷)-;
2 Y⁴ is -C(R¹⁴)=, wherein R¹⁴ is substituted or unsubstituted aryl or heteroaryl; Y¹ is
3 selected from the group consisting of -O-, -S- and -N(R¹³)-; and Y² is -C(R¹²)=.

1 29. A compound of Claim 28, wherein Y¹ is -O- and Z is -N=.

1 30. A compound of Claim 28, wherein Y¹ is -S- and Z is -N=.

1 31. A compound of Claim 28, wherein Y¹ is -N(R¹³)- and Z is -N=.

1 32. A compound of Claim 1, wherein X is -SO₂-; Y⁴ is -N(R¹⁴)=, wherein
2 R¹⁴ is substituted or unsubstituted aryl or heteroaryl; Y³ is C; Z is -N= or -C(R⁷)=; and Y¹
3 and Y² are each -C(R¹²)=.

1 33. A compound of Claim 32, wherein R¹ is H.

1 34. A compound of Claim 1, wherein X is a bond; Z is -O-, -S- or
2 -N(R¹⁷)-; Y¹ is -N= or -N(R¹³)-; Y² is -C(R¹²)=; and Y⁴ is -C(R¹⁴)= wherein R¹⁴ is
3 substituted or unsubstituted aryl or heteroaryl.

1 35. A compound of Claim 34, wherein Y¹ is -N= and Z is -O-.

1 36. A compound of Claim 34, wherein Y¹ is -N= and Z is -S-.

1 37. A compound of Claim 34, wherein Z is -N(R¹⁷)=.

1 38. A compound of Claim 34, wherein R¹ is H.

1 39. A compound of Claim 1, wherein X is a bond; Y¹ is -N(R¹³)= or =N-;
2 Y² is -C(R¹²)=; Y³ is C; Y⁴ is -C(R¹⁴)= wherein R¹⁴ is substituted or unsubstituted aryl or
3 heteroaryl; and Z is -N(R¹⁷)= or =N-, with the proviso that Y¹ and Z are not both =N-.

1 40. A compound of Claim 1, wherein X is a bond; Y¹ and Y² are each
2 independently -C(R¹²)=; Y³ is C; Y⁴ is -C(R¹⁴)= wherein R¹⁴ is substituted or

3 unsubstituted aryl or heteroaryl; and Z is $-N(R^{17})-$, O or S.

1 41. A compound of Claim 40, wherein the two R^{12} groups are combined to
2 form a fused 5- or 6-membered substituted or unsubstituted aryl or heteroaryl ring.

1 42. A compound of Claim 1, wherein X is $-C(O)-$; Y^1 is $-N(R^{13})-$; Y^2 is
2 $-N=$; Y^3 is C; Y^4 is $-N(R^{14})-$ wherein R^{14} is substituted or unsubstituted aryl or heteroaryl;
3 and Z is a bond.

1 43. A compound of Claim 42, wherein R^1 is H.

1 44. A compound of Claim 1, wherein X is $-C(O)-$; Z is $-N(R^{17})-$ wherein
2 R^{17} is substituted or unsubstituted aryl or heteroaryl; Y^1 and Y^2 are each independently
3 $-C(R^{12})=$; Y^3 is C; and Y^4 is $-N=$.

1 45. A compound of Claim 44, wherein R^1 is H.

1 46. A compound of Claim 1, wherein X and Z are $-N=$, Y^1 and Y^2 are each
2 independently $-C(R^{12})=$; Y^3 is C; and Y^4 is $-C(R^{14})=$ wherein R^{14} is a substituted or
3 unsubstituted aryl or heteroaryl group.

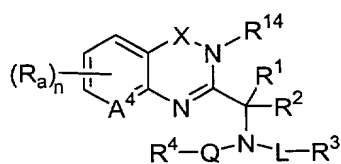
1 47. A compound of Claim 46, wherein R^1 is H.

1 48. A compound of Claim 1, wherein X is $-C(O)-$; Y^4 is
2 $-N(R^{14})-C(R^5)(R^6)-$; wherein R^{14} is substituted or unsubstituted aryl or heteroaryl; Y^1 and
3 Y^2 are each independently $-C(R^{12})=$; Y^3 is C; and Z is $-N=$.

1 49. A compound of Claim 48, wherein R^1 is H.

1 50. A compound of Claim 1, wherein the Y^3 -containing ring system is
2 selected from the group consisting of quinoline, quinazoline, naphthalene, quinolinone,
3 quinazolinone, triazolinone, pyrimidin-4-one, benzimidazole, thiazole, imidazole,
4 pyridine, pyrazine and benzodiazepine.

51. A compound of Claim 1, having the formula (III):



III

wherein

A⁴ is C or N;

X is -CO-, -CH₂- or a bond;

R¹ and R² are each members independently selected from the group consisting of H and (C₁-C₄)alkyl;

R¹⁴ is a substituted or unsubstituted member selected from the group consisting of phenyl, pyridyl, thiazolyl, thienyl and pyrimidinyl;

Q is -CO-;

L is (C₁-C₈)alkylene;

the subscript n is an integer of from 0 to 4; and

each R_a is independently selected from the group consisting of halogen, -OR', -OC(O)R', -NR'R'', -SR', -R', -CN, -NO₂, -CO₂R', -CONR'R'', -C(O)R', -OC(O)NR'R'', -NR''C(O)R', -NR''C(O)₂R', -NR'-C(O)NR''R''', -NH-C(NH₂)=NH, -NR'C(NH₂)=NH, -NH-C(NH₂)=NR', -S(O)R', -S(O)₂R', -S(O)₂NR'R'', -N₃, -CH(Ph)₂, perfluoro(C₁-C₄)alkoxy, and perfluoro(C₁-C₄)alkyl, wherein R', R'' and R''' are each independently selected from the group consisting of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, unsubstituted aryl, unsubstituted heteroaryl, (unsubstituted aryl)-(C₁-C₄)alkyl, and (unsubstituted aryl)oxy-(C₁-C₄)alkyl.

52. A compound of Claim 51, wherein X is -C(O)-.

53. A compound of Claim 51, wherein X is -CH₂-.

54. A compound of Claim 51, wherein X is a bond.

55. A compound of Claim 51, wherein R⁴ is substituted or unsubstituted benzyl, wherein said substituents are selected from the group consisting of halogen, halo(C₁-C₄)alkyl, halo(C₁-C₄)alkoxy, cyano, nitro, and phenyl.

1 **56.** A compound of Claim 51, wherein R¹⁴ is selected from the group
2 consisting of substituted phenyl, substituted pyridyl, substituted thiazolyl and substituted
3 thienyl, wherein the substituents are selected from the group consisting of cyano, halogen,
4 (C₁-C₈)alkoxy, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, CONH₂, methylenedioxy and
5 ethylenedioxy.

1 **57.** A compound of Claim 51, wherein R¹⁴ is substituted phenyl, wherein
2 the substituents are selected from the group consisting of cyano, halogen, (C₁-C₈)alkoxy,
3 (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, CONH₂, methylenedioxy and ethylenedioxy.

1 **58.** A compound of Claim 51, wherein R⁴ is substituted or unsubstituted
2 benzyl, wherein said substituents are selected from the group consisting of halogen,
3 halo(C₁-C₄)alkyl, halo(C₁-C₄)alkoxy, cyano, nitro and phenyl, and R¹⁴ is substituted
4 phenyl, wherein the substituents are selected from the group consisting of cyano, halogen,
5 (C₁-C₈)alkoxy, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, CONH₂, methylenedioxy and
6 ethylenedioxy.

1 **59.** A compound of Claim 51, wherein R¹ is selected from the group
2 consisting of methyl, ethyl and propyl, and R² is hydrogen.

1 **60.** A compound of Claim 51, wherein R¹ and R² are each methyl.

1 **61.** A compound of Claim 51, wherein R³ is selected from the group
2 consisting of (C₁-C₈)alkoxy, amino, (C₁-C₈)alkylamino, di(C₁-C₈)alkylamino, (C₂-
3 C₈)heteroalkyl, (C₃-C₉)heterocyclyl and heteroaryl.

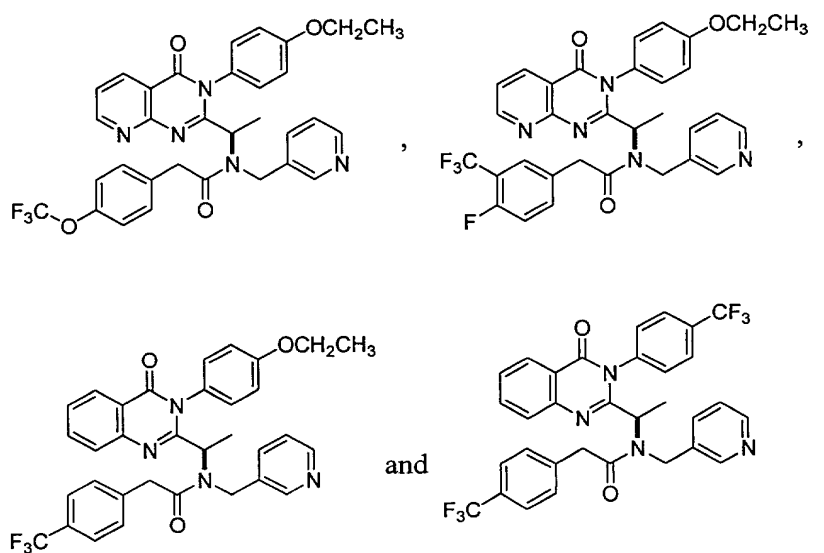
1 **62.** A compound of Claim 51, wherein R³ is selected from the group
2 consisting of substituted or unsubstituted pyridyl and substituted or unsubstituted
3 imidazolyl.

1 **63.** A compound of Claim 51, wherein L is (C₁-C₄)alkylene.

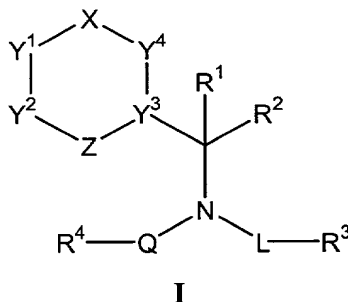
1 **64.** A compound of Claim 51, wherein X is -CO-; R¹ and R² are each
2 independently selected from the group consisting of H, methyl and ethyl; R¹⁴ is phenyl; ;
3 L is methylene, ethylene or propylene, R³ is selected from the group consisting of
4 substituted or unsubstituted pyridyl and substituted or unsubstituted imidazolyl; R⁴ is
5 substituted or unsubstituted benzyl, wherein said substituents are selected from the group

6 consisting of halogen, halo(C₁-C₄)alkyl, halo(C₁-C₄)alkoxy, cyano, nitro, and phenyl; and
 7 each R_a is selected from the group consisting of halogen, -OR', -OC(O)R', -NR'R'', -SR',
 8 -R', -CN, -NO₂, -CO₂R', -CONR'R'', -C(O)R', -NR''C(O)R', -NR'-C(O)NR''R''',
 9 perfluoro(C₁-C₄)alkoxy, and perfluoro(C₁-C₄)alkyl, wherein R', R'' and R''' are each
 10 independently selected from the group consisting of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl,
 11 unsubstituted aryl, unsubstituted heteroaryl, (unsubstituted aryl)-(C₁-C₄)alkyl, and
 12 (unsubstituted aryl)oxy-(C₁-C₄)alkyl.

1 65. A compound of Claim 51, wherein said compound is selected from the
 2 group consisting of:



1 66. A pharmaceutical composition comprising a pharmaceutically
 2 acceptable carrier or excipient and a compound having the formula (I):



5 wherein

6 X is a member selected from the group consisting of a bond, -C(O)-,
 7 -C(R⁵)(R⁶)-, -C(R⁵)=, -S(O)-, -S(O)₂- and -N=;

Z is a member selected from the group consisting of a bond, -N=, -O-, -S-,
-N(R¹⁷)- and -C(R⁷)=, with the proviso that X and Z are not both a bond;

L is a member selected from the group consisting of a bond, C(O)-(C₁-
C₈)alkylene, (C₁-C₈)alkylene and (C₂-C₈)heteroalkylene;

Q is a member selected from the group consisting of a bond, (C₁-
C₈)alkylene, (C₂-C₈)heteroalkylene, -C(O)-, -OC(O)-, -N(R⁸)C(O)-, -CH₂CO-, -CH₂SO-
and -CH₂SO₂-;

optionally L and Q can be linked together to form a 5- or 6-membered
heterocyclic group having from 1 to 3 heteroatoms;

R¹ and R² are members independently selected from the group consisting
of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, aryl and heteroaryl, or optionally are combined to
form a 3 to 8-membered ring having from 0 to 2 heteroatoms as ring vertices;

optionally R² and L can be linked together to form a 5- or 6-membered
heterocyclic group having from 1 to 4 heteroatoms;

R³ is a member selected from the group consisting of hydroxy, (C₁-
C₈)alkoxy, amino, (C₁-C₈)alkylamino, di(C₁-C₈)alkylamino, (C₂-C₈)heteroalkyl, (C₃-
C₉)heterocyclyl, (C₁-C₈)acylamino, amidino, guanidino, ureido, cyano, heteroaryl,
-CONR⁹R¹⁰ and -CO₂R¹¹;

R⁴ is a member selected from the group consisting of (C₁-C₂₀)alkyl, (C₂-
C₂₀)heteroalkyl, heteroaryl, aryl, heteroaryl(C₁-C₆)alkyl, heteroaryl(C₂-C₆)heteroalkyl,
aryl(C₁-C₆)alkyl and aryl(C₂-C₆)heteroalkyl;

R⁵ and R⁶ are each members independently selected from the group
consisting of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, heteroaryl and aryl, or optionally R⁵
and R⁶ are combined to form a 3- to 7-membered ring;

R⁷ and R⁸ are each members independently selected from the group
consisting of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, heteroaryl and aryl,

each R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting
of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, heteroaryl, aryl, heteroaryl(C₁-C₆)alkyl,
heteroaryl(C₂-C₈)heteroalkyl, aryl(C₁-C₈)alkyl and aryl(C₂-C₈)heteroalkyl;

Y¹ and Y² are each members independently selected from the group
consisting of -C(R¹²)=, -N=, -O-, -S- and -N(R¹³)-;

Y³ is a member selected from the group consisting of N and C wherein the
carbon atom shares a double bond with either Z or Y⁴; and

Y⁴ is a member selected from the group consisting of -N(R¹⁴)-, -C(R¹⁴)=,

42 -N= and -N(R¹⁴)-C(R¹⁵)(R¹⁶)-, wherein

43 each R¹² is a member independently selected from the group consisting of
44 H, halogen, hydroxy, amino, alkylamino, dialkylamino, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl,
45 heteroaryl and aryl, or optionally when Y¹ and Y² are both -C(R¹²)= the two R¹² groups
46 can be combined to form a substituted or unsubstituted 5- to 6-membered cycloalkyl,
47 heterocycloalkyl, aryl or heteroaryl ring; or optionally when Y¹ is -C(R¹²)= and X is -
48 C(R⁵)= or -C(R⁵)(R⁶)-, R¹² and R⁵ can be combined to form a substituted or unsubstituted
49 5- to 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;

50 R¹³ is a member selected from the group consisting of H, (C₁-C₈)alkyl,
51 (C₂-C₈)heteroalkyl, heteroaryl, aryl, heteroaryl(C₁-C₆)alkyl, heteroaryl(C₂-C₈)heteroalkyl,
52 aryl(C₁-C₈)alkyl and aryl(C₂-C₈)heteroalkyl;

53 R¹⁴ is a member selected from the group consisting of (C₁-C₈)alkyl, (C₂-
54 C₈)heteroalkyl, aryl(C₁-C₈)alkyl, aryl(C₂-C₈)heteroalkyl, heteroaryl(C₁-C₈)alkyl,
55 heteroaryl(C₂-C₈)heteroalkyl, heteroaryl and aryl;

56 R¹⁵ and R¹⁶ are each members independently selected from the group
57 consisting of H, (C₁-C₈)alkyl and (C₂-C₈)heteroalkyl; and

58 R¹⁷ is a member selected from the group consisting of H, (C₁-C₈)alkyl,
59 (C₂-C₈)heteroalkyl, heteroaryl, aryl, heteroaryl(C₁-C₆)alkyl, heteroaryl(C₂-C₈)heteroalkyl,
60 aryl(C₁-C₈)alkyl and aryl(C₂-C₈)heteroalkyl, or optionally when Y² is -C(R¹²)= or -
61 N(R¹³)-, R¹⁷ can be combined with R¹² or R¹³ to form a substituted or unsubstituted 5- to
62 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;

63 with the proviso that when the Y³-containing ring system is a
64 quinazolinone or quinolinone ring system, and R⁴-Q- is substituted or unsubstituted (C₅-
65 C₁₅)alkyl, then R³-L- is other than substituted or unsubstituted (C₂-C₈)alkylene or a
66 substituted or unsubstituted (C₂-C₈)heteroalkylene attached to -NR'R'', wherein R' and
67 R'' are independently selected from the group consisting of hydrogen and (C₁-C₈)alkyl, or
68 optionally are combined with the nitrogen atom to which each is attached to form a 5-, 6-
69 or 7-membered ring.

1 67. A composition of Claim 66, wherein Y⁴ is -N(R¹⁴)- wherein R¹⁴ is
2 selected from the group consisting of aryl and heteroaryl.

1 68. A composition of Claim 66, wherein X is -C(O)-.

1 69. A composition of Claim 66, wherein Z is -N=.

1 70. A composition of Claim 66, wherein Y^1 and Y^2 are each $-C(R^{12})=$
2 wherein the two R^{12} groups are combined to form a fused 6-membered aryl or heteroaryl
3 ring.

1 71. A composition of Claim 66, wherein X is $-C(O)-$; Z is $-N=$; Y^3 is C;
2 and Y^1 and Y^2 are each $-C(R^{12})=$ wherein the two R^{12} groups are combined to form a
3 fused 6-membered substituted or unsubstituted aryl or heteroaryl ring.

1 72. A composition of Claim 66, wherein L is (C_1-C_8) alkylene.

1 73. A composition of Claim 66, wherein Q is $-C(O)-$.

1 74. A composition of Claim 66, wherein R^4 is selected from the group
2 consisting of (C_5-C_{15}) alkyl, substituted or unsubstituted phenyl and biphenyl.

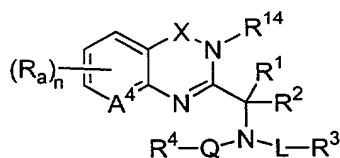
1 75. A composition of Claim 66, wherein R^3 is selected from the group
2 consisting of (C_1-C_8) alkoxy, (C_1-C_8) alkylamino, di (C_1-C_8) alkylamino, $(C_2-$
3 $C_8)$ heteroalkyl, (C_3-C_9) heterocyclyl, (C_1-C_8) acylamino, cyano, heteroaryl, $-CONR^9R^{10}$
4 and $-CO_2R^{11}$.

1 76. A composition of Claim 66, wherein R^1 and R^2 are independently
2 selected from the group consisting of H and (C_1-C_4) alkyl.

1 77. A composition of Claim 66, wherein Y^3 is C and the carbon atom
2 shares a double bond with Z.

1 78. A composition of Claim 66, wherein the Y^3 -containing ring system is
2 selected from the group consisting of quinoline, quinazoline, naphthalene, quinolinone,
3 quinazolinone, triazolinone, pyrimidin-4-one, benzimidazole, thiazole, imidazole,
4 pyridine, pyrazine and benzodiazepine.

1 79. A composition of Claim 66, wherein the compound has the formula
2 (III):



III

wherein

A⁴ is C or N;

X is -CO-, -CH₂- or a bond;

R¹ and R² are each members independently selected from the group consisting of H and (C₁-C₄)alkyl;

R¹⁴ is a substituted or unsubstituted member selected from the group consisting of phenyl, pyridyl, thiazolyl, thienyl and pyrimidinyl;

Q is -CO-;

L is (C₁-C₈)alkylene;

the subscript n is an integer of from 0 to 4; and

each R_a is independently selected from the group consisting of halogen, -OR', -OC(O)R', -NR'R'', -SR', -R', -CN, -NO₂, -CO₂R', -CONR'R'', -C(O)R', -OC(O)NR'R'', -NR''C(O)R', -NR''C(O)₂R', -NR'-C(O)NR''R''', -NH-C(NH₂)=NH, -NR'C(NH₂)=NH, -NH-C(NH₂)=NR', -S(O)R', -S(O)₂R', -S(O)₂NR'R'', -N₃, -CH(Ph)₂, perfluoro(C₁-C₄)alkoxy, and perfluoro(C₁-C₄)alkyl, wherein R', R'' and R''' are each independently selected from the group consisting of, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, unsubstituted aryl, unsubstituted heteroaryl, (unsubstituted aryl)-(C₁-C₄)alkyl, and (unsubstituted aryl)oxy-(C₁-C₄)alkyl.

80. A composition in accordance with Claim 79, wherein X is -C(O)-.

81. A composition in accordance with Claim 79, wherein X is -CH₂-.

82. A composition in accordance with Claim 79, wherein X is a bond.

83. A composition in accordance with Claim 79, wherein R⁴ is substituted or unsubstituted benzyl, wherein said substituents are selected from the group consisting of halogen, halo(C₁-C₄)alkyl, halo(C₁-C₄)alkoxy, cyano, nitro, and phenyl.

84. A composition in accordance with Claim 79, wherein R¹⁴ is selected from the group consisting of substituted phenyl, substituted pyridyl, substituted thiazolyl and substituted thienyl, wherein the substituents are selected from the group consisting of cyano, halogen, (C₁-C₈)alkoxy, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, CONH₂, methylenedioxy and ethylenedioxy.

1 **85.** A composition in accordance with Claim 79, wherein R¹ is selected
2 from the group consisting of methyl, ethyl and propyl, and R² is.

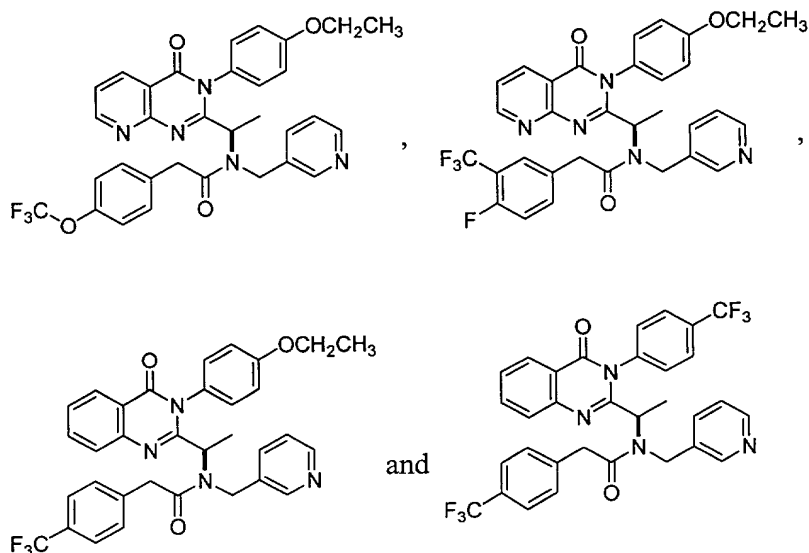
1 **86.** A composition in accordance with Claim 79, wherein R¹ and R² are
2 each methyl.

1 **87.** A composition in accordance with Claim 79, wherein R³ is selected
2 from the group consisting of substituted or unsubstituted pyridyl and substituted or
3 unsubstituted imidazolyl.

1 **88.** A composition in accordance with Claim 79, wherein L is (C₁-
2 C₄)alkylene.

1 **89.** A composition in accordance with Claim 79, wherein X is -CO-; R¹
2 and R² are each independently selected from the group consisting of, methyl and ethyl;
3 R¹⁴ is selected from the group consisting of substituted or unsubstituted phenyl; L is
4 methylene, ethylene or propylene, R³ is selected from the group consisting of substituted
5 or unsubstituted pyridyl and substituted or unsubstituted imidazolyl; R⁴ is substituted or
6 unsubstituted benzyl, wherein said substituents are selected from the group consisting of
7 halogen, halo(C₁-C₄)alkyl, halo(C₁-C₄)alkoxy, cyano, nitro, and phenyl; and each R_a is
8 selected from the group consisting of halogen, -OR', -OC(O)R', -NR'R'', -SR', -R', -CN,
9 -NO₂, -CO₂R', -CONR'R'', -C(O)R', -NR''C(O)R', -NR'-C(O)NR''R''', perfluoro(C₁-
10 C₄)alkoxy, and perfluoro(C₁-C₄)alkyl, wherein R', R'' and R''' are each independently
11 selected from the group consisting of, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, unsubstituted
12 aryl, unsubstituted heteroaryl, (unsubstituted aryl)-(C₁-C₄)alkyl, and (unsubstituted
13 aryl)oxy-(C₁-C₄)alkyl.

1 **90.** The composition of Claim 79, wherein said compound is:



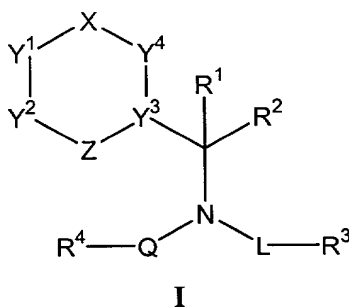
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3

91. A method of treating an inflammatory or immune condition or disease in a subject, said method comprising administering to a subject in need of such treatment a therapeutically effective amount of a compound having the formula (I):



4

5

6

wherein

7

8

X is a member selected from the group consisting of a bond, $-C(O)-$, $-C(R^5)(R^6)-$, $-C(R^5)=$, $-S(O)-$, $-S(O)_2-$ and $-N=$;

9

10

Z is a member selected from the group consisting of a bond, $-N=$, $-O-$, $-S-$, $-N(R^{17})-$ and $-C(R^7)=$, with the proviso that X and Z are not both a bond;

11

12

L is a member selected from the group consisting of a bond, $C(O)-(C_1-C_8)$ alkylene, (C_1-C_8) alkylene and (C_2-C_8) heteroalkylene;

13

14

15

Q is a member selected from the group consisting of a bond, (C_1-C_8) alkylene, (C_2-C_8) heteroalkylene, $-C(O)-$, $-OC(O)-$, $-N(R^8)C(O)-$, $-CH_2CO-$, $-CH_2SO-$ and $-CH_2SO_2-$;

16

17

optionally L and Q can be linked together to form a 5- or 6-membered heterocyclic group having from 1 to 3 heteroatoms;

R^1 and R^2 are members independently selected from the group consisting of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, aryl and heteroaryl, or optionally are combined to form a 3 to 8-membered ring having from 0 to 2 heteroatoms as ring vertices;

optionally R^2 and L can be linked together to form a 5- or 6-membered heterocyclic group having from 1 to 4 heteroatoms;

R^3 is a member selected from the group consisting of hydroxy, (C₁-C₈)alkoxy, amino, (C₁-C₈)alkylamino, di(C₁-C₈)alkylamino, (C₂-C₈)heteroalkyl, (C₃-C₉)heterocyclyl, (C₁-C₈)acylamino, amidino, guanidino, ureido, cyano, heteroaryl, -CONR⁹R¹⁰ and -CO₂R¹¹;

R^4 is a member selected from the group consisting of (C₁-C₂₀)alkyl, (C₂-C₂₀)heteroalkyl, heteroaryl, aryl, heteroaryl(C₁-C₆)alkyl, heteroaryl(C₂-C₆)heteroalkyl, aryl(C₁-C₆)alkyl and aryl(C₂-C₆)heteroalkyl;

R^5 and R^6 are each members independently selected from the group consisting of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, heteroaryl and aryl, or optionally R^5 and R^6 are combined to form a 3- to 7-membered ring;

R^7 and R^8 are each members independently selected from the group consisting of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, heteroaryl and aryl,

each R^9 , R^{10} and R^{11} is independently selected from the group consisting of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, heteroaryl, aryl, heteroaryl(C₁-C₆)alkyl, heteroaryl(C₂-C₈)heteroalkyl, aryl(C₁-C₈)alkyl and aryl(C₂-C₈)heteroalkyl;

Y^1 and Y^2 are each members independently selected from the group consisting of -C(R¹²)=, -N=, -O-, -S- and -N(R¹³)-

Y^3 is a member selected from the group consisting of N and C wherein the carbon atom shares a double bond with either Z or Y^4 ; and

Y^4 is a member selected from the group consisting of -N(R¹⁴)-, -C(R¹⁴)=, -N= and -N(R¹⁴)-C(R¹⁵)(R¹⁶)-, wherein

each R^{12} is a member independently selected from the group consisting of H, halogen, hydroxy, amino, alkylamino, dialkylamino, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, heteroaryl and aryl, or optionally when Y^1 and Y^2 are both -C(R¹²)= the two R^{12} groups can be combined to form a substituted or unsubstituted 5- to 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring; or optionally when Y^1 is -C(R¹²)= and X is -C(R⁵)= or -C(R⁵)(R⁶)-, R^{12} and R^5 can be combined to form a substituted or unsubstituted 5- to 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;

R^{13} is a member selected from the group consisting of H, (C₁-C₈)alkyl,

(C₂-C₈)heteroalkyl, heteroaryl, aryl, heteroaryl(C₁-C₆)alkyl, heteroaryl(C₂-C₈)heteroalkyl, aryl(C₁-C₈)alkyl and aryl(C₂-C₈)heteroalkyl;

R¹⁴ is a member selected from the group consisting of (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, aryl(C₁-C₈)alkyl, aryl(C₂-C₈)heteroalkyl, heteroaryl(C₁-C₈)alkyl, heteroaryl(C₂-C₈)heteroalkyl, heteroaryl and aryl;

R¹⁵ and R¹⁶ are each members independently selected from the group consisting of H, (C₁-C₈)alkyl and (C₂-C₈)heteroalkyl; and

R¹⁷ is a member selected from the group consisting of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, heteroaryl, aryl, heteroaryl(C₁-C₆)alkyl, heteroaryl(C₂-C₈)heteroalkyl, aryl(C₁-C₈)alkyl and aryl(C₂-C₈)heteroalkyl, or optionally when Y² is -C(R¹²)= or -N(R¹³)-, R¹⁷ can be combined with R¹² or R¹³ to form a substituted or unsubstituted 5- to 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;

with the proviso that when the Y³-containing ring system is a quinazolinone or quinolinone ring system, and R⁴-Q- is substituted or unsubstituted (C₅-C₁₅)alkyl, then R³-L- is other than substituted or unsubstituted (C₂-C₈)alkylene or a substituted or unsubstituted (C₂-C₈)heteroalkylene attached to -NR'R'', wherein R' and R'' are independently selected from the group consisting of hydrogen and (C₁-C₈)alkyl, or optionally are combined with the nitrogen atom to which each is attached to form a 5-, 6- or 7-membered ring.

92. The method of Claim 91, wherein said compound is administered orally, parenterally or topically.

93. The method of Claim 91, wherein said compound modulates CXCR3.

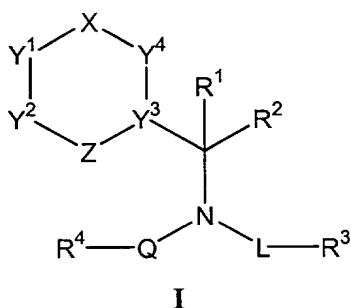
94. The method of Claim 91, wherein said compound is a CXCR3 antagonist.

95. The method of Claim 91, wherein said inflammatory or immune condition or disease is selected from the group consisting of neurodegenerative diseases, multiple sclerosis, systemic lupus erythematosus, rheumatoid arthritis, atherosclerosis, encephalitis, meningitis, hepatitis, nephritis, sepsis, sarcoidosis, psoriasis, eczema, urticaria, type I diabetes, asthma, conjunctivitis, otitis, allergic rhinitis, chronic obstructive pulmonary disease, sinusitis, dermatitis, inflammatory bowel disease, ulcerative colitis, Crohn's disease, Behcet's syndrome, gout, cancer, viral infections, bacterial infections,

8 organ transplant conditions and skin transplant conditions.

1 **96.** The method of Claim 91, wherein said compound is administered in
2 combination with a second therapeutic agent, wherein said second therapeutic agent is
3 useful for treating or preventing neurodegenerative diseases, multiple sclerosis, systemic
4 lupus erythematosus, rheumatoid arthritis, atherosclerosis, encephalitis, meningitis,
5 hepatitis, nephritis, sepsis, sarcoidosis, psoriasis, eczema, urticaria, type I diabetes,
6 asthma, conjunctivitis, otitis, allergic rhinitis, chronic obstructive pulmonary disease,
7 sinusitis, dermatitis, inflammatory bowel disease, ulcerative colitis, Crohn's disease,
8 Behcet's syndrome, gout, cancer, viral infections, bacterial infections, organ transplant
9 conditions or skin transplant conditions.

1 **97.** A method of treating a CXCR3-mediated condition or disease in a
2 subject, said method comprising administering to a subject in need of such treatment a
3 therapeutically effective amount of a compound having the formula (**I**):



4 wherein

5 X is a member selected from the group consisting of a bond, -C(O)-,
6 -C(R⁵)(R⁶)-, -C(R⁵)=, -S(O)-, -S(O)₂- and -N=;

7 Z is a member selected from the group consisting of a bond, -N=, -O-, -S-,
8 -N(R¹⁷)- and -C(R⁷)=, with the proviso that X and Z are not both a bond;

9 L is a member selected from the group consisting of a bond, C(O)-(C₁-
10 C₈)alkylene, (C₁-C₈)alkylene and (C₂-C₈)heteroalkylene;

11 Q is a member selected from the group consisting of a bond, (C₁-
12 C₈)alkylene, (C₂-C₈)heteroalkylene, -C(O)-, -OC(O)-, -N(R⁸)C(O)-, -CH₂CO-, -CH₂SO-
13 and -CH₂SO₂-;

14 optionally L and Q can be linked together to form a 5- or 6-membered
15 heterocyclic group having from 1 to 3 heteroatoms;

16 R¹ and R² are members independently selected from the group consisting

of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, aryl and heteroaryl, or optionally are combined to form a 3 to 8-membered ring having from 0 to 2 heteroatoms as ring vertices;

optionally R² and L can be linked together to form a 5- or 6-membered heterocyclic group having from 1 to 4 heteroatoms;

R³ is a member selected from the group consisting of hydroxy, (C₁-C₈)alkoxy, amino, (C₁-C₈)alkylamino, di(C₁-C₈)alkylamino, (C₂-C₈)heteroalkyl, (C₃-C₉)heterocyclyl, (C₁-C₈)acylamino, amidino, guanidino, ureido, cyano, heteroaryl, -CONR⁹R¹⁰ and -CO₂R¹¹;

R⁴ is a member selected from the group consisting of (C₁-C₂₀)alkyl, (C₂-C₂₀)heteroalkyl, heteroaryl, aryl, heteroaryl(C₁-C₆)alkyl, heteroaryl(C₂-C₆)heteroalkyl, aryl(C₁-C₆)alkyl and aryl(C₂-C₆)heteroalkyl;

R⁵ and R⁶ are each members independently selected from the group consisting of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, heteroaryl and aryl, or optionally R⁵ and R⁶ are combined to form a 3- to 7-membered ring;

R⁷ and R⁸ are each members independently selected from the group consisting of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, heteroaryl and aryl,

each R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, heteroaryl, aryl, heteroaryl(C₁-C₆)alkyl, heteroaryl(C₂-C₈)heteroalkyl, aryl(C₁-C₈)alkyl and aryl(C₂-C₈)heteroalkyl;

Y¹ and Y² are each members independently selected from the group consisting of -C(R¹²)=, -N=, -O-, -S- and -N(R¹³)-;

Y³ is a member selected from the group consisting of N and C wherein the carbon atom shares a double bond with either Z or Y⁴; and

Y⁴ is a member selected from the group consisting of -N(R¹⁴)-, -C(R¹⁴)=, -N= and -N(R¹⁴)-C(R¹⁵)(R¹⁶)-, wherein

each R¹² is a member independently selected from the group consisting of H, halogen, hydroxy, amino, alkylamino, dialkylamino, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, heteroaryl and aryl, or optionally when Y¹ and Y² are both -C(R¹²)= the two R¹² groups can be combined to form a substituted or unsubstituted 5- to 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring; or optionally when Y¹ is -C(R¹²)= and X is -C(R⁵)= or -C(R⁵)(R⁶)-, R¹² and R⁵ can be combined to form a substituted or unsubstituted 5- to 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;

R¹³ is a member selected from the group consisting of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, heteroaryl, aryl, heteroaryl(C₁-C₆)alkyl, heteroaryl(C₂-C₈)heteroalkyl,

aryl(C₁-C₈)alkyl and aryl(C₂-C₈)heteroalkyl;

R¹⁴ is a member selected from the group consisting of (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, aryl(C₁-C₈)alkyl, aryl(C₂-C₈)heteroalkyl, heteroaryl(C₁-C₈)alkyl, heteroaryl(C₂-C₈)heteroalkyl, heteroaryl and aryl;

R¹⁵ and R¹⁶ are each members independently selected from the group consisting of H, (C₁-C₈)alkyl and (C₂-C₈)heteroalkyl; and

R¹⁷ is a member selected from the group consisting of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, heteroaryl, aryl, heteroaryl(C₁-C₆)alkyl, heteroaryl(C₂-C₈)heteroalkyl, aryl(C₁-C₈)alkyl and aryl(C₂-C₈)heteroalkyl, or optionally when Y² is -C(R¹²)= or -N(R¹³)-, R¹⁷ can be combined with R¹² or R¹³ to form a substituted or unsubstituted 5- to 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;

with the proviso that when the Y³-containing ring system is a quinazolinone or quinolinone ring system, and R⁴-Q- is substituted or unsubstituted (C₅-C₁₅)alkyl, then R³-L- is other than substituted or unsubstituted (C₂-C₈)alkylene or a substituted or unsubstituted (C₂-C₈)heteroalkylene attached to -NR'R'', wherein R' and R'' are independently selected from the group consisting of hydrogen and (C₁-C₈)alkyl, or optionally are combined with the nitrogen atom to which each is attached to form a 5-, 6- or 7-membered ring.

98. A method in accordance with Claim 97, wherein Y⁴ is -N(R¹⁴)- wherein R¹⁴ is selected from the group consisting of aryl and heteroaryl.

99. A method in accordance with Claim 97, wherein X is -C(O)-.

100. A method in accordance with Claim 97, wherein Z is -N=.

101. A method in accordance with Claim 97, wherein Y¹ and Y² are each -C(R¹²)=, wherein the two R¹² groups are combined to form a fused 6-membered aryl or heteroaryl ring.

102. A method in accordance with Claim 97, wherein X is -C(O)-; Z is -N=; Y³ is C; and Y¹ and Y² are each -C(R¹²)= wherein the two R¹² groups are combined to form a fused 6-membered substituted or unsubstituted aryl or heteroaryl ring.

103. A method in accordance with Claim 97, wherein L is (C₁-C₈)alkylene.

1 **104.** A method in accordance with Claim 97, wherein Q is $-\text{C}(\text{O})-$.

1 **105.** A method in accordance with Claim 97, wherein R^4 is selected
2 from the group consisting of $(\text{C}_5\text{-C}_{15})$ alkyl, substituted or unsubstituted phenyl and
3 biphenyl.

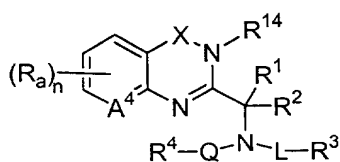
1 **106.** A method in accordance with Claim 97, wherein R^3 is selected
2 from the group consisting of $(\text{C}_1\text{-C}_8)$ alkoxy, $(\text{C}_1\text{-C}_8)$ alkylamino, $\text{di}(\text{C}_1\text{-C}_8)$ alkylamino,
3 $(\text{C}_2\text{-C}_8)$ heteroalkyl, $(\text{C}_3\text{-C}_9)$ heterocyclyl, $(\text{C}_1\text{-C}_8)$ acylamino, cyano, heteroaryl,
4 $-\text{CONR}^9\text{R}^{10}$ and $-\text{CO}_2\text{R}^{11}$.

1 **107.** A method in accordance with Claim 97, wherein R^1 and R^2 are
2 independently selected from the group consisting of H and $(\text{C}_1\text{-C}_4)$ alkyl.

1 **108.** A method in accordance with Claim 97, wherein Y^3 is C and the
2 carbon atom shares a double bond with Z.

1 **109.** A method in accordance with Claim 97, wherein the Y^3 -containing
2 ring system is selected from the group consisting of quinoline, quinazoline, naphthalene,
3 quinolinone, quinazolinone, triazolinone, pyrimidin-4-one, benzimidazole, thiazole,
4 imidazole, pyridine, pyrazine and benzodiazepine.

1 **110.** A method in accordance with Claim 97, wherein said compound
2 has the formula (III):



5 wherein

6 A^4 is C or N;

7 X is $-\text{CO}-$, $-\text{CH}_2-$ or a bond;

8 R^1 and R^2 are each members independently selected from the group consisting of
9 H and $(\text{C}_1\text{-C}_4)$ alkyl;

10 R^{14} is a substituted or unsubstituted member selected from the group consisting of
11 phenyl, pyridyl, thiazolyl, thienyl and pyrimidinyl;

12 Q is -CO-;
 13 L is (C₁-C₈)alkylene;
 14 the subscript n is an integer of from 0 to 4; and
 15 each R_a is independently selected from the group consisting of halogen, -OR',
 16 -OC(O)R', -NR'R'', -SR', -R', -CN, -NO₂, -CO₂R', -CONR'R'', -C(O)R',
 17 -OC(O)NR'R'', -NR''C(O)R', -NR''C(O)₂R', -NR'-C(O)NR''R''',
 18 -NH-C(NH₂)=NH, -NR'C(NH₂)=NH, -NH-C(NH₂)=NR', -S(O)R', -
 19 S(O)₂R', -S(O)₂NR'R'', -N₃, -CH(Ph)₂, perfluoro(C₁-C₄)alkoxy, and
 20 perfluoro(C₁-C₄)alkyl, wherein R', R'' and R''' are each independently
 21 selected from the group consisting of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl,
 22 unsubstituted aryl, unsubstituted heteroaryl, (unsubstituted aryl)-(C₁-
 23 C₄)alkyl, and (unsubstituted aryl)oxy-(C₁-C₄)alkyl.

1 111. A method in accordance with Claim 110, wherein X is -C(O)-.

1 112. A method in accordance with Claim 110, wherein X is -CH₂-.

1 113. A method in accordance with Claim 110, wherein X is a bond.

1 114. A method in accordance with Claim 110, wherein R⁴ is substituted
 2 or unsubstituted benzyl, wherein said substituents are selected from the group consisting
 3 of halogen, halo(C₁-C₄)alkyl, halo(C₁-C₄)alkoxy, cyano, nitro, and phenyl.

1 115. A method in accordance with Claim 110, wherein R¹⁴ is selected
 2 from the group consisting of substituted phenyl, substituted pyridyl, substituted thiazolyl
 3 and substituted thienyl, wherein the substituents are selected from the group consisting of
 4 cyano, halogen, (C₁-C₈)alkoxy, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl, CONH₂,
 5 methylenedioxy and ethylenedioxy.

1 116. A method in accordance with Claim 110, wherein R¹ is selected
 2 from the group consisting of methyl, ethyl and propyl, and R² is hydrogen.

1 117. A method in accordance with Claim 110, wherein R¹ and R² are
 2 each methyl.

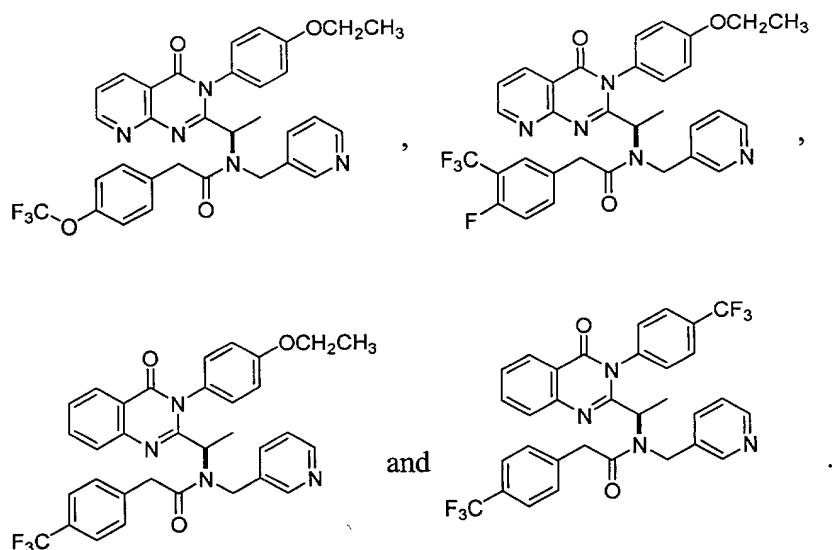
1 118. A method in accordance with Claim 110, wherein R³ is selected
 2 from the group consisting of substituted or unsubstituted pyridyl and substituted or

3 unsubstituted imidazolyl.

1 **119.** A method in accordance with Claim 110, wherein L is (C₁-
2 C₄)alkylene.

1 **120.** A method in accordance with Claim 110, wherein X is -CO-; R¹
2 and R² are each independently selected from the group consisting of H, methyl and ethyl;
3 R¹⁴ is selected from the group consisting of substituted or unsubstituted phenyl; Q is -
4 CO-; L is methylene, ethylene or propylene, R³ is selected from the group consisting of
5 substituted or unsubstituted pyridyl and substituted or unsubstituted imidazolyl; R⁴ is
6 substituted or unsubstituted benzyl, wherein said substituents are selected from the group
7 consisting of halogen, halo(C₁-C₄)alkyl, halo(C₁-C₄)alkoxy, cyano, nitro, and phenyl; and
8 each R_a is selected from the group consisting of halogen, -OR', -OC(O)R', -NR'R'', -SR',
9 -R', -CN, -NO₂, -CO₂R', -CONR'R'', -C(O)R', -NR''C(O)R', -NR'-C(O)NR''R''',
10 perfluoro(C₁-C₄)alkoxy, and perfluoro(C₁-C₄)alkyl, wherein R', R'' and R''' are each
11 independently selected from the group consisting of H, (C₁-C₈)alkyl, (C₂-C₈)heteroalkyl,
12 unsubstituted aryl, unsubstituted heteroaryl, (unsubstituted aryl)-(C₁-C₄)alkyl, and
13 (unsubstituted aryl)oxy-(C₁-C₄)alkyl.

1 **121.** The method of Claim 110, wherein said compound is selected from
2 the group consisting of:



3

1 **122.** A method in accordance with Claim 97, wherein said CXCR3-
2 mediated condition is selected from the group consisting of neurodegenerative diseases,
3 multiple sclerosis, systemic lupus erythematosus, rheumatoid arthritis, atherosclerosis,
4 encephalitis, meningitis, hepatitis, nephritis, sepsis, sarcoidosis, psoriasis, eczema,
5 urticaria, type I diabetes, asthma, conjunctivitis, otitis, allergic rhinitis, chronic obstructive
6 pulmonary disease, sinusitis, dermatitis, inflammatory bowel disease, ulcerative colitis,
7 Crohn's disease, Behcet's syndrome, gout, cancer, viral infections, bacterial infections,
8 organ transplant conditions and skin transplant conditions.

1 **123.** The method of Claim 97, wherein said compound modulates
2 CXCR3.

1 **124.** A method in accordance with Claim 110, wherein said compound
2 is administered in combination with a second therapeutic agent, wherein said second
3 therapeutic agent is useful for treating neurodegenerative diseases, multiple sclerosis,
4 systemic lupus erythematosus, rheumatoid arthritis, atherosclerosis, encephalitis,
5 meningitis, hepatitis, nephritis, sepsis, sarcoidosis, psoriasis, eczema, urticaria, type I
6 diabetes, asthma, conjunctivitis, otitis, allergic rhinitis, chronic obstructive pulmonary
7 disease, sinusitis, dermatitis, inflammatory bowel disease, ulcerative colitis, Crohn's
8 disease, Behcet's syndrome, gout, cancer, viral infections, bacterial infections, organ
9 transplant conditions or skin transplant conditions.

1 **125.** A method in accordance with Claim 124, wherein said organ
2 transplant condition is a bone marrow transplant condition or a solid organ transplant
3 condition.

1 **126.** A method in accordance with Claim 125, wherein said solid organ
2 transplant condition is a kidney transplant condition, a liver transplant condition, a lung
3 transplant condition, a heart transplant condition or a pancreas transplant condition.

1 **127.** A method in accordance with Claim 97, wherein said CXCR3-
2 mediated condition is restenosis.

1 **128.** A method in accordance with Claim 97, wherein said CXCR3-
2 mediated condition is selected from the group consisting of multiple sclerosis, rheumatoid

3 arthritis and organ transplant conditions.

1 **129.** A method in accordance with Claim 110, wherein said compound
2 is used in conjunction with another therapeutic agent selected from the group consisting
3 of Remicade®, Enbrel®, a COX-2 inhibitor, a glucocorticoid, an immunosuppressant,
4 methotrexate, prednisolone, azathioprine, cyclophosphamide, tacrolimus, mycophenolate,
5 hydroxychloroquine, sulfasalazine, cyclosporine A, D-penicillamine, a gold compound,
6 an antilymphocyte or antithymocyte globulin, betaseron, avonex and copaxone.

1 **130.** A method in accordance with Claim 110, wherein said CXCR3-
2 mediated condition is an organ transplant condition and said compound is used alone or in
3 combination with a second therapeutic agent selected from the group consisting of
4 cyclosporine A, FK-506, rapamycin, mycophenolate, prednisolone, azathioprene,
5 cyclophosphamide and an antilymphocyte globulin.

1 **131.** A method in accordance with Claim 110, wherein said CXCR3-
2 mediated condition is rheumatoid arthritis and said compound is used alone or in
3 combination with a second therapeutic agent selected from the group consisting of
4 methotrexate, sulfasalazine, hydroxychloroquine, cyclosporine A, D-penicillamine,
5 Remicade®, Enbrel®, auranofin and aurothioglucose.

1 **132.** A method in accordance with Claim 110, wherein said CXCR3-
2 mediated condition is multiple sclerosis and said compound is used alone or in
3 combination with a second therapeutic agent selected from the group consisting of
4 betaseron, avonex, azathioprene, capoxone, prednisolone and cyclophosphamide.

1 **133.** The method of Claim 110, wherein said subject is a human.

1 **134.** A method for the modulation of CXCR3 function in a cell,
2 comprising contacting said cell with a compound of Claim 1.

1 **135.** A method for the modulation of CXCR3 function, comprising
2 contacting a CXCR3 protein with a compound of Claim 1.

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